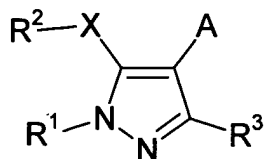


## Claims

1. A method for the treatment of human immunodeficiency virus (HIV) infection comprising administering a therapeutically effective amount of a compound of the formula



wherein

R¹ is optionally substituted C<sub>1-12</sub>-alkyl, C<sub>3-8</sub>-cycloalkyl, acyl, C<sub>1-4</sub>-alkylsulfonyl, optionally substituted phenylsulfonyl, aryl, heterocyclyl or C<sub>1-4</sub>-alkyl substituted with optionally substituted phenyl;

R² is aryl;

R³ is C<sub>1-12</sub>-alkyl or C<sub>1-4</sub>-alkoxy-C<sub>1-4</sub>-alkyl;

A is a group selected from CH<sub>2</sub>-(aryl-C<sub>1-4</sub>-alkylamino), CH<sub>2</sub>-(aryl-C<sub>1-4</sub>-alkoxy), CH<sub>2</sub>-(heterocyclyl-C<sub>1-4</sub>-alkoxy), C<sub>1-4</sub>-alkyl substituted with aryl or with heterocyclyl; or

A is a group of formula CH<sub>2</sub>-U-heterocyclyl,  
wherein U is O, S or NR'', wherein R'' is hydrogen or C<sub>1-4</sub>-alkyl; or

A is a group of formula CH(V)Z,  
wherein V is OH or F, and  
wherein Z is aryl or heterocyclyl; or

A is a group of formula CH=CHW,  
wherein W is aryl or heterocyclyl;

X is S or O;

or the pharmaceutically acceptable hydrolyzable esters or ethers thereof, or the pharmaceutically acceptable salts thereof.

2. The method of claim 1 wherein

R<sup>1</sup> is optionally substituted C<sub>1-12</sub>-alkyl, C<sub>3-8</sub>-cycloalkyl, acyl, C<sub>1-4</sub>-alkylsulfonyl, optionally substituted phenylsulfonyl, aryl, heterocyclyl or C<sub>1-4</sub>-alkyl substituted with optionally substituted phenyl, wherein the substituted C<sub>1-12</sub>-alkyl is substituted with 1-5 substituents selected from fluorine, chlorine and bromine, and wherein the substituted phenyl is substituted with 1-5 substituents selected from C<sub>1-4</sub>-alkyl, C<sub>1-4</sub>-alkoxy, hydroxy, fluorine, chlorine, bromine and cyano;

R<sup>2</sup> is optionally substituted phenyl, wherein the substituted phenyl is substituted with 1-5 substituents selected from C<sub>1-4</sub>-alkyl, C<sub>1-4</sub>-alkoxy, hydroxy, fluorine, chlorine, bromine, cyano and nitro;

A is a group selected from CH<sub>2</sub>-(aryl-C<sub>1-4</sub>-alkylamino), CH<sub>2</sub>-(aryl-C<sub>1-4</sub>-alkoxy), CH<sub>2</sub>-(heterocyclyl-C<sub>1-4</sub>-alkoxy), C<sub>1-4</sub>-alkyl substituted with aryl or heterocyclyl, wherein the aryl is substituted with 1-5 substituents selected from C<sub>1-4</sub>-alkyl, C<sub>1-4</sub>-alkoxy, hydroxy, fluorine, chlorine, bromine, cyano, S-C<sub>1-4</sub>-alkyl and NRR', wherein R and R' are independently of each other hydrogen or C<sub>1-4</sub>-alkyl and the heterocyclyl is substituted with 1-4 substituents selected from C<sub>1-4</sub>-alkyl, C<sub>1-4</sub>-alkoxy, hydroxy, fluorine, chlorine, bromine, cyano, S-C<sub>1-4</sub>-alkyl and NRR', wherein R and R' are independently of each other hydrogen or C<sub>1-4</sub>-alkyl; or

A is a group of formula CH<sub>2</sub>-U-heterocyclyl, wherein the heterocyclyl is optionally substituted with 1-4 substituents selected from C<sub>1-4</sub>-alkyl, fluorine, chlorine, bromine, cyano, nitro and NRR', wherein R and R' are independently of each other hydrogen or C<sub>1-4</sub>-alkyl; or

A is a group of formula CH(V)Z,  
wherein V is OH or F, and  
wherein Z is aryl or heterocyclyl; or

A is a group of formula CH=CHW,  
wherein W is unsubstituted aryl, unsubstituted heterocyclyl, aryl substituted with 1-5 substituents selected from C<sub>1-4</sub>-alkyl, C<sub>1-4</sub>-alkoxy, hydroxy, cyano, fluorine,

chlorine and bromine, or heterocyclyl substituted with 1-4 substituents selected from C<sub>1-4</sub>-alkyl, C<sub>1-4</sub>-alkoxy, hydroxy, cyano, fluorine, chlorine and bromine.

3. The method of claim 1 wherein

R<sup>1</sup> is optionally substituted C<sub>1-12</sub>-alkyl, C<sub>3-8</sub>-cycloalkyl, aryl, heterocyclyl or C<sub>1-4</sub>-alkyl substituted with phenyl, wherein the C<sub>1-12</sub>-alkyl is substituted with 1-5 fluorine substituents;

R<sup>2</sup> is phenyl substituted with 1-5 substituents selected from C<sub>1-4</sub>-alkyl, C<sub>1-4</sub>-alkoxy, fluorine, chlorine, bromine, cyano and nitro;

A is a group selected from CH<sub>2</sub>-(aryl-C<sub>1-4</sub>-alkoxy), CH<sub>2</sub>-(heterocyclyl-C<sub>1-4</sub>-alkoxy), C<sub>1-4</sub>-alkyl substituted with optionally substituted phenyl or heterocyclyl, wherein the phenyl is substituted with 1-5 substituents selected from C<sub>1-4</sub>-alkyl, C<sub>1-4</sub>-alkoxy, hydroxy, fluorine, chlorine, bromine, cyano, S-C<sub>1-4</sub>-alkyl and NRR', and the heterocyclyl is substituted with 1-4 substituents selected from C<sub>1-4</sub>-alkyl, C<sub>1-4</sub>-alkoxy, hydroxy, fluorine, chlorine, bromine, cyano, S-C<sub>1-4</sub>-alkyl and NRR', wherein R and R' are independently of each other hydrogen or C<sub>1-4</sub>-alkyl; or

A is a group of formula CH<sub>2</sub>-U-heterocyclyl,

wherein the heterocyclyl is optionally substituted with 1-4 substituents selected from C<sub>1-4</sub>-alkyl, fluorine, chlorine, bromine, cyano, nitro and NRR', wherein R and R' are independently of each other hydrogen or C<sub>1-4</sub>-alkyl; or

A is a group of formula CH(V)heterocyclyl,  
wherein V represents OH or F; or

A is a group of formula CH=CHW,  
wherein W is aryl substituted with 1-5 substituents selected from C<sub>1-4</sub>-alkyl, C<sub>1-4</sub>-alkoxy, hydroxy, cyano, fluorine, chlorine and bromine.

4. The method according to claim 1 wherein

R<sup>1</sup> is optionally substituted C<sub>1-7</sub>-alkyl, C<sub>3-8</sub>-cycloalkyl, aryl, heterocyclyl or C<sub>1-4</sub>-alkyl substituted with phenyl, wherein the C<sub>1-7</sub>-alkyl is substituted with 1-3 fluorine substituents;

R<sup>2</sup> is phenyl substituted with 1-3 substituents selected from C<sub>1-4</sub>-alkyl, C<sub>1-4</sub>-alkoxy, fluorine, chlorine, bromine, cyano and nitro;

R<sup>3</sup> is C<sub>1-7</sub>-alkyl or C<sub>1-4</sub>-alkoxy-C<sub>1-2</sub>-alkyl;

A is a group selected from CH<sub>2</sub>-(phenyl-C<sub>1-2</sub>-alkoxy), CH<sub>2</sub>-(pyridyl-C<sub>1-2</sub>-alkoxy), C<sub>1-2</sub>-alkyl substituted with optionally substituted phenyl or with heterocyclyl, wherein the phenyl is substituted with 1-3 substituents selected from C<sub>1-4</sub>-alkyl, C<sub>1-4</sub>-alkoxy, hydroxy, fluorine, chlorine, bromine, cyano, S-C<sub>1-4</sub>-alkyl and NRR', and the heterocyclyl is substituted with 1-2 substituents selected from C<sub>1-4</sub>-alkyl, C<sub>1-4</sub>-alkoxy, hydroxy, fluorine, chlorine, bromine, cyano, S-C<sub>1-4</sub>-alkyl and NRR', wherein R and R' are independently of each other hydrogen or C<sub>1-4</sub>-alkyl; or

A is a group of formula CH<sub>2</sub>-U-heterocyclyl,

wherein heterocyclyl is optionally substituted with 1-2 substituents selected from C<sub>1-4</sub>-alkyl, fluorine, chlorine, bromine, cyano, nitro and NRR', wherein R and R' are independently of each other hydrogen or C<sub>1-4</sub>-alkyl; or

A is a group of formula CH(F)heterocyclyl.

5. The method according to claim 1 wherein

R<sup>1</sup> is optionally substituted C<sub>1-7</sub>-alkyl, C<sub>3-6</sub>-cycloalkyl, phenyl, pyridyl or benzyl, wherein the C<sub>1-7</sub>-alkyl is substituted with 1-3 fluorine substituents;

R<sup>2</sup> is phenyl substituted with 1-3 substituents selected from C<sub>1-2</sub>-alkyl, fluorine, chlorine and cyano;

R<sup>3</sup> is C<sub>1-7</sub>-alkyl or C<sub>1-2</sub>-alkoxy-C<sub>1-2</sub>-alkyl;

A is a group selected from CH<sub>2</sub>-(phenyl-C<sub>1-2</sub>-alkoxy), CH<sub>2</sub>-(pyridyl-C<sub>1-2</sub>-alkoxy), C<sub>1-2</sub>-alkyl substituted with optionally substituted phenyl or with heterocyclyl, wherein the phenyl is substituted with 1-3 substituents selected from C<sub>1-2</sub>-alkyl, C<sub>1-2</sub>-alkoxy, hydroxy, fluorine, chlorine, bromine, cyano, S-C<sub>1-2</sub>-alkyl and NRR', and the heterocyclyl is substituted with 1-2 substituents selected from C<sub>1-2</sub>-alkyl, C<sub>1-2</sub>-alkoxy, hydroxy, fluorine, chlorine, bromine, cyano, S-C<sub>1-2</sub>-alkyl and NRR', wherein R and R' are independently of each other hydrogen or C<sub>1-2</sub>-alkyl; or

A is a group of formula CH(F)heterocyclyl.

6. The method according to claim 1 wherein

R<sup>1</sup> is C<sub>1-7</sub>-alkyl;

R<sup>2</sup> is phenyl substituted with 1-3 substituents selected from chlorine and cyano;

R<sup>3</sup> is C<sub>1-7</sub>-alkyl; and

A is a group selected from CH<sub>2</sub>-(phenyl-C<sub>1-2</sub>-alkoxy), CH<sub>2</sub>-(pyridyl-C<sub>1-2</sub>-alkoxy), C<sub>1-2</sub>-alkyl substituted with heterocyclyl, wherein the heterocyclyl is substituted with 1-2 substituents selected from C<sub>1-2</sub>-alkyl, C<sub>1-2</sub>-alkoxy, hydroxy, fluorine, chlorine, bromine, cyano, S-C<sub>1-2</sub>-alkyl and NRR', wherein R and R' are independently of each other hydrogen or C<sub>1-2</sub>-alkyl.

7. The method according to claim 1 wherein

R<sup>1</sup> is C<sub>1-4</sub>-alkyl;

R<sup>2</sup> is phenyl substituted with 1-3 chlorine substituents;

R<sup>3</sup> is C<sub>1-4</sub>-alkyl; and

A is a group C<sub>1-2</sub>-alkyl substituted with heterocyclyl, wherein the heterocyclyl is substituted with 1-2 substituents selected from C<sub>1-2</sub>-alkyl and chlorine.

8. The method according to claim 1 wherein

R<sup>1</sup> is ethyl or iso-propyl;

R<sup>2</sup> is 3,5-dichlorophenyl;

R<sup>3</sup> is methyl; and

A is a group C<sub>1-2</sub>-alkyl substituted with heterocyclyl, wherein the heterocyclyl is substituted with 1-2 selected from C<sub>1-2</sub>-alkyl and chlorine; and

X is S.

9. The method according to claim 1 wherein X is S.

10. The method according to claim 1 wherein the compound is

5-(3-Chlorophenylthio)-3-methoxymethyl-1-methyl-4-styryl-1H-pyrazole,

(E)-5-(3,5-Dichlorophenylthio)-3-(methoxymethyl)-1-phenyl-4-styryl-1H-pyrazole,

5-(3,5-Dichlorophenylthio)-3-methyl-1-phenyl-4-styryl-1H-pyrazole,

4-Benzyl-5-(3,5-dichlorophenylthio)-3-methyl-1-phenyl-1H-pyrazole,

5-(3,5-Dichlorophenylthio)-3-methyl-4-(2-phenylethyl)-1-phenyl-1H-pyrazole,

5-(3,5-Dichlorophenylthio)-3-(methoxymethyl)-1-phenyl-4-(2-phenylethyl)-1H-pyrazole,

[5-(3,5-Dichlorophenylthio)-3-(methoxymethyl)-1-methyl-1H-pyrazol-4-yl]-phenyl-methanol,

[5-(3,5-Dichlorophenylthio)-3-methyl-1-phenyl-1H-pyrazol-4-yl]-phenyl-methanol,

[5-(3,5-Dichlorophenylthio)-1-ethyl-3-(methoxymethyl)-1H-pyrazol-4-yl]-phenyl-methanol,

4-Benzyl-5-(3,5-dichlorophenylthio)-1-ethyl-3-(methoxymethyl)-1H-pyrazole,

4-Benzyl-5-(3,5-dichloro-phenylthio)-3-methoxymethyl-1-methyl-1H-pyrazole,

5-(3,5-Dichlorophenylthio)-3-methyl- $\alpha$ (RS)-phenyl-1H-pyrazole-4-methanol,

1,4-Dibenzyl-5-(3,5-dichlorophenylthio)-3-methyl-1H-pyrazole,

4-Benzyl-5-(3,5-dichloro-phenylthio)-1-isopropyl-3-methyl-1H-pyrazole,

4-Benzyl-5-(3,5-dichlorophenylthio)-1-ethyl-3-methyl-1H-pyrazole,

4-Benzyl-1-sec-butyl-5-(3,5-dichlorophenylthio)-3-methyl-1H-pyrazole,  
 4-[5-(3,5-Dichlorophenylthio)-3-methyl-1-phenyl-4-[(4-pyridyl)methyl]-1H-pyrazole,  
 5-(3,5-Dichlorophenylthio)-1-ethyl-3-methyl-4-(2-phenylethyl)-1H-pyrazole,  
 4-[5-(3,5-Dichlorophenylthio)-1-ethyl-3-methyl-[(4-pyridyl)methyl]-1H-pyrazole,  
 4-Benzyl-1-ethyl-5-(4-methoxyphenoxy)-3-methyl-1H-pyrazole,  
 4-Benzyl-1-cyclopentyl-5-(3,5-dichlorophenylthio)-3-methyl-1H-pyrazole,  
 4-Benzyl-1-cyclohexyl-5-(3,5-dichlorophenylthio)-3-methyl-1H-pyrazole,  
 4-Benzyl-5-(3,5-dichlorophenylthio)-1-isobutyl-3-methyl-1H-pyrazole,  
 4-Benzyloxymethyl-5-(3,5-dichlorophenylthio)-3-methyl-1-phenyl-1H-pyrazole,  
 2-[4-Benzyl-5-(3,5-dichloro-phenylsulfanyl)-3-methyl-pyrazol-1-yl]-pyridine,  
 4-Benzyl-3-methyl-5-(3-nitro-phenoxy)-1-phenyl-1H-pyrazole,  
 3-(4-Benzyl-5-methyl-2-phenyl-2H-pyrazol-3-yloxy)-benzonitrile,  
 2-[5-(3,5-Dichloro-phenylsulfanyl)-1-isopropyl-3-methyl-1H-pyrazol-4-ylmethyl]-pyridine,  
 4-Benzyloxymethyl-5-(3,5-dichloro-phenylsulfanyl)-1-isopropyl-3-methyl-1H-pyrazole,  
 2-[5-(3,5-Dimethyl-phenylsulfanyl)-1-isopropyl-3-methyl-1H-pyrazol-4-ylmethyl]-pyridine,  
 2-[5-(3-Chloro-phenylsulfanyl)-1-isopropyl-3-methyl-1H-pyrazol-4-ylmethyl]-pyridine,  
 2-[5-(3,5-Dichloro-phenylsulfanyl)-1-isopropyl-3-methyl-1H-pyrazol-4-ylmethoxy]-  
 pyridine,  
 3-Chloro-5-[5-(3,5-dichloro-phenylsulfanyl)-1-isopropyl-3-methyl-1H-pyrazol-4-  
 ylmethoxy]-pyridine,  
 1-[5-(3,5-Dichloro-phenylsulfanyl)-1-isopropyl-3-methyl-1H-pyrazol-4-ylmethyl]-1H-  
 pyridin-2-one,  
 3-[5-(3,5-Dichloro-phenylsulfanyl)-1-ethyl-3-methyl-1H-pyrazol-4-ylmethyl]-pyridine,  
 3-[5-(3,5-Dichloro-phenylsulfanyl)-1-isopropyl-3-methyl-1H-pyrazol-4-ylmethyl]-3H-  
 pyrimidin-4-one,  
 4-[5-(3,5-Dichloro-phenylsulfanyl)-1-isopropyl-3-methyl-1H-pyrazol-4-ylmethoxymethyl]-  
 pyridine,  
 3-(4-Benzyl-5-methyl-2-phenyl-2H-pyrazol-3-ylsulfanyl)-benzonitrile,  
 3-[5-(3,5-Dichloro-phenylsulfanyl)-1-isopropyl-3-methyl-1H-pyrazol-4-ylmethyl]-pyridine,  
 [5-(3,5-Dichloro-phenylsulfanyl)-1-isopropyl-3-methyl-1H-pyrazol-4-yl]-pyridin-2-yl-  
 methanol,  
 [5-(3,5-Dichloro-phenylsulfanyl)-1-isopropyl-3-methyl-1H-pyrazol-4-yl]-pyridin-4-yl-  
 methanol,



4-[5-(3,5-Dichloro-phenylsulfanyl)-1-isopropyl-3-methyl-1H-pyrazol-4-ylmethyl]-pyridine,  
 4-[5-(3,5-Dichloro-phenylsulfanyl)-1-isopropyl-3-methyl-1H-pyrazol-4-ylmethysulfanyl]-  
 pyridine,  
 4-Benzyl-5-(3,5-dichloro-phenylsulfanyl)-3-methyl-1-(2,2,2-trifluoro-ethyl)-1H-pyrazole,  
 4-[[5-(3,5-Dichloro-phenylsulfanyl)-1-isopropyl-3-methyl-1H-pyrazol-4-yl]-fluoro-  
 methyl]-pyridine,  
 5-[5-(3,5-Dichloro-phenylsulfanyl)-1-ethyl-3-methyl-1H-pyrazol-4-ylmethoxy]-2-methyl-  
 pyridine,  
 5-Bromo-4-[5-(3,5-dichloro-phenylsulfanyl)-1-isopropyl-3-methyl-1H-pyrazol-4-ylmethyl]-  
 pyrimidine,  
 3-[5-(3,5-Dichloro-phenylsulfanyl)-1-ethyl-3-methyl-1H-pyrazol-4-ylmethoxy]-2-nitro-  
 pyridine,  
 4-[5-(3,5-Dichloro-phenylsulfanyl)-1-ethyl-3-methyl-1H-pyrazol-4-ylmethysulfanyl]-  
 pyridine,  
 4-[5-(3,5-Dichloro-phenylsulfanyl)-1-ethyl-3-methyl-1H-pyrazol-4-ylmethoxy]-pyridine,  
 4-[5-(3,5-Dichloro-phenylsulfanyl)-1-isopropyl-3-methyl-1H-pyrazol-4-ylmethyl]-  
 pyrimidine,  
 3-[5-(3,5-Dichloro-phenylsulfanyl)-1-ethyl-3-methyl-1H-pyrazol-4-ylmethoxy]-pyridin-2-  
 ylamine,  
 4-[5-(3,5-Dichloro-phenylsulfanyl)-1-isopropyl-3-methyl-1H-pyrazol-4-ylmethoxy]-  
 pyridine,  
 4-[5-(3,5-Dichloro-phenylsulfanyl)-1-isopropyl-3-methyl-1H-pyrazol-4-ylmethyl]-3-fluoro-  
 pyridine,  
 4-[5-(3,5-Dichloro-phenylsulfanyl)-1-ethyl-3-methyl-1H-pyrazol-4-ylmethyl]-3-fluoro-  
 pyridine,  
 3-Chloro-4-[5-(3,5-dichloro-phenylsulfanyl)-1-ethyl-3-methyl-1H-pyrazol-4-ylmethyl]-  
 pyridine,  
 3-Chloro-4-[5-(3,5-dichloro-phenylsulfanyl)-1-isopropyl-3-methyl-1H-pyrazol-4-  
 ylmethyl]-pyridine,  
 4-[5-(3,5-Dichloro-phenylsulfanyl)-1-ethyl-3-methyl-1H-pyrazol-4-ylmethoxy]-6-methyl-  
 pyrimidin-2-ylamine,  
 3-Bromo-5-[5-(3,5-dichloro-phenylsulfanyl)-1-ethyl-3-methyl-1H-pyrazol-4-ylmethyl]-  
 pyridine,

[5-(3,5-Dichloro-phenylsulfanyl)-1-ethyl-3-methyl-1H-pyrazol-4-ylmethyl]-pyridin-3-yl-amine,  
 4-[5-(3,5-Dichloro-phenylsulfanyl)-1-isopropyl-3-methyl-1H-pyrazol-4-ylmethyl]-benzonitrile,  
 2-Chloro-4-[5-(3,5-dichloro-phenylsulfanyl)-1-isopropyl-3-methyl-1H-pyrazol-4-ylmethyl]-pyridine,  
 2-Chloro-4-[5-(3,5-dichloro-phenylsulfanyl)-1-isopropyl-3-methyl-1H-pyrazol-4-ylmethyl]-6-methyl-pyridine,  
 2-[5-(3,5-Dichloro-phenylsulfanyl)-1-isopropyl-3-methyl-1H-pyrazol-4-ylmethyl]-pyrazine,  
 4-[5-(3-Chloro-5-methoxy-phenylsulfanyl)-1-isopropyl-3-methyl-1H-pyrazol-4-ylmethyl]-2-methoxy-pyridine,  
 3-[[5-(3,5-Dichlorophenylthio)-3-methyl-1-phenyl-1H-pyrazol-4-yl]methyl]-2-(methylthio)pyridine,  
 4-[5-(3-Bromo-phenylsulfanyl)-1-isopropyl-3-methyl-1H-pyrazol-4-ylmethyl]-3-chloro-pyridine,  
 3-Chloro-4-(1-isopropyl-3-methyl-5-m-tolylsulfanyl-1H-pyrazol-4-ylmethyl)-pyridine,  
 3-Chloro-4-[5-(3,5-dimethyl-phenylsulfanyl)-1-isopropyl-3-methyl-1H-pyrazol-4-ylmethyl]-pyridine,  
 4-[5-(3-Bromo-phenylsulfanyl)-1-isopropyl-3-methyl-1H-pyrazol-4-ylmethyl]-3-fluoro-pyridine,  
 3-Fluoro-4-(1-isopropyl-3-methyl-5-m-tolylsulfanyl-1H-pyrazol-4-ylmethyl)-pyridine,  
 4-[5-(3,5-Dimethyl-phenylsulfanyl)-1-isopropyl-3-methyl-1H-pyrazol-4-ylmethyl]-3-fluoro-pyridine,  
 5-(3,5-Dichloro-phenylsulfanyl)-1-isopropyl-3-methyl-4-thiophen-3-ylmethyl-1H-pyrazole, {3-[5-(3,5-Dichloro-phenylsulfanyl)-1-isopropyl-3-methyl-1H-pyrazol-4-ylmethyl]-phenyl}-dimethyl-amine,  
 4-[5-(3,5-Dichloro-phenylsulfanyl)-1-isopropyl-3-methyl-1H-pyrazol-4-ylmethyl]-3,5-dimethyl-isoxazole, or  
 6-[5-(3,5-Dichloro-phenylsulfanyl)-1-isopropyl-3-methyl-1H-pyrazol-4-ylmethyl]-pyridine-2-carbonitrile.

11. The method according to claim 1 wherein

$R^1$  is  $C_{1-12}$ -alkyl,  $C_{3-8}$ -cycloalkyl, acyl,  $C_{1-4}$ -alkylsulfonyl, optionally substituted phenylsulfonyl, aryl or  $C_{1-4}$ -alkyl substituted with optionally substituted phenyl, wherein the substituted phenyl is substituted with 1-5 substituents selected from  $C_{1-4}$ -alkyl,  $C_{1-4}$ -alkoxy, hydroxy, fluorine, chlorine and bromine;

$R^2$  is aryl or optionally substituted phenyl, wherein the substituted phenyl is substituted with 1-5 substituents selected from  $C_{1-4}$ -alkyl,  $C_{1-4}$ -alkoxy, hydroxy, fluorine, chlorine and bromine;

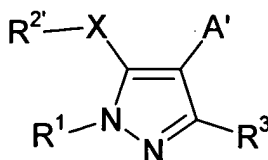
$R^3$  is  $C_{1-12}$ -alkyl or  $C_{1-4}$ -alkoxy- $C_{1-4}$ -alkyl;

A is a group selected from  $CH_2$ -(aryl- $C_{1-4}$ -alkylamino),  $CH_2$ -(aryl- $C_{1-4}$ -alkoxy),  $C_{1-4}$ -alkyl substituted with aryl or with heterocyclyl, wherein the aryl is substituted with 1-5 substituents selected from  $C_{1-4}$ -alkyl,  $C_{1-4}$ -alkoxy, hydroxy, fluorine, chlorine and bromine and the heterocyclyl is substituted with 1-4 substituents and the substituents are selected from  $C_{1-4}$ -alkyl,  $C_{1-4}$ -alkoxy, hydroxy, fluorine, chlorine and bromine; or

A is a group of formula  $CH(OH)Z$ ,  
wherein Z is aryl or heterocyclyl; or

A is a group of formula  $CH=CHW$ ,  
wherein W is aryl or heterocyclyl, wherein the aryl is substituted with 1-5 substituents selected from  $C_{1-4}$ -alkyl,  $C_{1-4}$ -alkoxy, hydroxy, fluorine, chlorine and bromine and the heterocyclyl is substituted with 1-4 substituents .

12. A compound of the formula



wherein

$R^1$  is optionally substituted  $C_{1-12}$ -alkyl,  $C_{3-8}$ -cycloalkyl, acyl,  $C_{1-4}$ -alkylsulfonyl, optionally substituted phenylsulfonyl, aryl, heterocyclyl or  $C_{1-4}$ -alkyl substituted with phenyl, wherein the substituted  $C_{1-12}$ -alkyl is substituted with 1-5 substituents selected

from fluorine, chlorine and bromine, and the substituted phenyl is substituted with 1-5 substituents selected from C<sub>1-4</sub>-alkyl, C<sub>1-4</sub>-alkoxy, hydroxy, fluorine, chlorine, bromine and cyano;

R<sup>2'</sup> is phenyl or phenyl substituted with 1-5 substituents selected from C<sub>1-4</sub>-alkyl, C<sub>1-4</sub>-alkoxy, hydroxy, fluorine, chlorine, bromine, cyano and nitro;

R<sup>3</sup> is C<sub>1-12</sub>-alkyl or C<sub>1-4</sub>-alkoxy-C<sub>1-4</sub>-alkyl;

A' is a group selected from CH<sub>2</sub>-(aryl-C<sub>1-4</sub>-alkylamino), CH<sub>2</sub>-(aryl-C<sub>1-4</sub>-alkoxy), CH<sub>2</sub>-(heterocyclyl-C<sub>1-4</sub>-alkoxy), C<sub>1-4</sub>-alkyl substituted with unsubstituted aryl, aryl substituted with 1-5 substituents selected from C<sub>1-4</sub>-alkyl, C<sub>1-4</sub>-alkoxy, hydroxy, fluorine, chlorine, bromine, cyano, S-C<sub>1-4</sub>-alkyl and NRR', unsubstituted 4-pyridyl, or 4-pyridyl substituted with 1-4 substituents selected from C<sub>1-4</sub>-alkyl, C<sub>1-4</sub>-alkoxy, hydroxy, fluorine, chlorine, bromine, cyano, S-C<sub>1-4</sub>-alkyl and NRR', wherein R and R' are independently of each other hydrogen or C<sub>1-4</sub>-alkyl; or

A' is a group of formula CH<sub>2</sub>-U-heterocyclyl,  
wherein U is O, S or NR'', wherein R'' is hydrogen or C<sub>1-4</sub>-alkyl, and  
wherein heterocyclyl is optionally substituted with 1-4 substituents selected from C<sub>1-4</sub>-alkyl, fluorine, chlorine, bromine, cyano, nitro and NRR', wherein R and R' are independently of each other hydrogen or C<sub>1-4</sub>-alkyl; or

A' is a group of formula CH(OH)aryl; or

A' is a group of formula CH=CHW  
wherein W is unsubstituted aryl, aryl substituted with 1-5 substituents selected from C<sub>1-4</sub>-alkyl, C<sub>1-4</sub>-alkoxy, hydroxy, cyano, fluorine, chlorine and bromine, unsubstituted heterocyclyl, or heterocyclyl substituted with 1-4 substituents selected from C<sub>1-4</sub>-alkyl, C<sub>1-4</sub>-alkoxy, hydroxy, cyano, fluorine, chlorine and bromine;

X is S or O;

or the pharmaceutically acceptable hydrolyzable esters or ethers thereof or pharmaceutically acceptable salts thereof.

13. The compound according to claim 12 wherein

R<sup>1</sup> is optionally substituted C<sub>1-12</sub>-alkyl, C<sub>3-8</sub>-cycloalkyl, aryl, heterocyclyl or C<sub>1-4</sub>-alkyl substituted with phenyl, wherein the substituted C<sub>1-12</sub>-alkyl is substituted with 1-5 fluorine substituents;

R<sup>2</sup> is phenyl substituted with 1-5 substituents selected from C<sub>1-4</sub>-alkyl, C<sub>1-4</sub>-alkoxy, fluorine, chlorine, bromine, cyano and nitro;

A' is a group selected from CH<sub>2</sub>-(phenyl-C<sub>1-4</sub>-alkoxy), CH<sub>2</sub>-(pyridyl-C<sub>1-4</sub>-alkoxy), C<sub>1-4</sub>-alkyl substituted with unsubstituted aryl, aryl substituted with 1-5 substituents selected from C<sub>1-4</sub>-alkyl, C<sub>1-4</sub>-alkoxy, hydroxy, fluorine, chlorine, bromine, cyano, S-C<sub>1-4</sub>-alkyl and NRR', unsubstituted 4-pyridyl or 4-pyridyl substituted with 1-4 substituents selected from C<sub>1-4</sub>-alkyl, C<sub>1-4</sub>-alkoxy, hydroxy, fluorine, chlorine, bromine, cyano, S-C<sub>1-4</sub>-alkyl and NRR', wherein R and R' are independently of each other hydrogen or C<sub>1-4</sub>-alkyl; or

A' is a group of formula CH<sub>2</sub>-U-heterocyclyl,

wherein U is O, S or NR'', wherein R'' is hydrogen or C<sub>1-4</sub>-alkyl, and

wherein heterocyclyl is optionally substituted with 1-4 substituents selected from C<sub>1-4</sub>-alkyl, fluorine, chlorine, bromine, cyano, nitro and NRR', wherein R and R' are independently of each other hydrogen or C<sub>1-4</sub>-alkyl; or

A' is a group of formula CH(OH)aryl; or

A' is a group of formula CH=CHW

wherein W is aryl substituted with 1-5 substituents selected from C<sub>1-4</sub>-alkyl, C<sub>1-4</sub>-alkoxy, hydroxy, cyano, fluorine, chlorine and bromine;

X is S or O.

14. in the compound according to claim 12 wherein

R<sup>1</sup> is optionally substituted C<sub>1-7</sub>-alkyl, C<sub>3-8</sub>-cycloalkyl, aryl, heterocyclyl or C<sub>1-4</sub>-alkyl substituted with optionally substituted phenyl, wherein the substituted C<sub>1-7</sub>-alkyl is substituted with 1-3 fluorine substituents;

R<sup>2'</sup> is phenyl substituted with 1-3 substituents selected from C<sub>1-4</sub>-alkyl, C<sub>1-4</sub>-alkoxy, fluorine, chlorine, bromine, cyano and nitro;

R<sup>3</sup> is C<sub>1-7</sub>-alkyl or C<sub>1-4</sub>-alkoxy-C<sub>1-2</sub>-alkyl;

A' is a group selected from CH<sub>2</sub>-(phenyl-C<sub>1-2</sub>-alkoxy), CH<sub>2</sub>-(pyridyl-C<sub>1-2</sub>-alkoxy), methyl substituted with unsubstituted phenyl, phenyl substituted with 1-3 substituents selected from C<sub>1-4</sub>-alkyl, C<sub>1-4</sub>-alkoxy, hydroxy, fluorine, chlorine, bromine, cyano, S-C<sub>1-4</sub>-alkyl and NRR', unsubstituted 4-pyridyl or 4-pyridyl substituted with 1-2 substituents selected from C<sub>1-4</sub>-alkyl, C<sub>1-4</sub>-alkoxy, hydroxy, fluorine, chlorine, bromine, cyano, S-C<sub>1-4</sub>-alkyl and NRR', wherein R and R' are independently of each other hydrogen or C<sub>1-4</sub>-alkyl; or

A' is a group of formula CH<sub>2</sub>-U-heterocyclyl,

wherein U is O, S or NR'', wherein R'' is hydrogen or C<sub>1-4</sub>-alkyl, and

wherein heterocyclyl is optionally substituted with 1-2 substituents selected from C<sub>1-4</sub>-alkyl, fluorine, chlorine, bromine, cyano, nitro and NRR', wherein R and R' are independently of each other hydrogen or C<sub>1-4</sub>-alkyl;

X is S or O.

15. The compounds according to claim 12 wherein

R<sup>1</sup> is optionally substituted C<sub>1-7</sub>-alkyl, C<sub>3-8</sub>-cycloalkyl, phenyl, pyridyl or benzyl, wherein the substituted C<sub>1-7</sub>-alkyl is substituted with 1-3 fluorine substituents;

R<sup>2'</sup> is phenyl substituted with 1-3 substituents selected from C<sub>1-2</sub>-alkyl, fluorine, chlorine and cyano;

R<sup>3</sup> is C<sub>1-7</sub>-alkyl or C<sub>1-2</sub>-alkoxy-C<sub>1-2</sub>-alkyl; and

A' is a group selected from CH<sub>2</sub>-(phenyl-C<sub>1-2</sub>-alkoxy), CH<sub>2</sub>-(pyridyl-C<sub>1-2</sub>-alkoxy), methyl substituted with unsubstituted phenyl, phenyl substituted with 1-3 substituents selected from C<sub>1-2</sub>-alkyl, C<sub>1-2</sub>-alkoxy, hydroxy, fluorine, chlorine, bromine, cyano, S-C<sub>1-2</sub>-alkyl and NRR', unsubstituted 4-pyridyl, or 4-pyridyl substituted with 1-2 substituents selected from C<sub>1-2</sub>-alkyl, C<sub>1-2</sub>-alkoxy, hydroxy, fluorine, chlorine, bromine, cyano, S-C<sub>1-2</sub>-alkyl and NRR', wherein R and R' are independently of each other hydrogen or C<sub>1-2</sub>-alkyl;  
X is S or O.

16. of the compound according to claim 12 wherein  
R<sup>1</sup> is C<sub>1-7</sub>-alkyl;

R<sup>2</sup> is phenyl substituted with 1-3 substituents selected from chlorine and cyano;

R<sup>3</sup> is C<sub>1-7</sub>-alkyl;

A' is a group selected from CH<sub>2</sub>-(aryl-C<sub>1-2</sub>-alkoxy), CH<sub>2</sub>-(heterocyclyl-C<sub>1-2</sub>-alkoxy), methyl substituted with optionally substituted 4-pyridyl, wherein the substituted 4-pyridyl is substituted with 1-2 substituents selected from C<sub>1-2</sub>-alkyl, C<sub>1-2</sub>-alkoxy, hydroxy, fluorine, chlorine, bromine, cyano, S-C<sub>1-2</sub>-alkyl and NRR', wherein R and R' are independently of each other hydrogen or C<sub>1-2</sub>-alkyl; and

X is S or O.

17. The compound according to claim 12 wherein  
R<sup>1</sup> is C<sub>1-4</sub>-alkyl;

R<sup>2</sup> is phenyl substituted with 1-3 chlorine substituents;

R<sup>3</sup> is C<sub>1-4</sub>-alkyl;

A' is methyl substituted with optionally substituted 4-pyridyl, wherein the substituted 4-pyridyl is substituted with 1-2 substituents selected from C<sub>1-2</sub>-alkyl and chlorine; and

X is S or O.

18. The compound according to claim 12 wherein

A' is a group selected from CH<sub>2</sub>-(aryl-C<sub>1-4</sub>-alkylamino), CH<sub>2</sub>-(aryl-C<sub>1-4</sub>-alkoxy), CH<sub>2</sub>-(heterocyclyl-C<sub>1-4</sub>-alkoxy), C<sub>1-4</sub>-alkyl substituted with optionally substituted aryl, wherein the substituted aryl is substituted with 1-5 substituents selected from C<sub>1-4</sub>-alkyl, C<sub>1-4</sub>-alkoxy, hydroxy, fluorine, chlorine, bromine, cyano, S-C<sub>1-4</sub>-alkyl and NRR', wherein R and R' are independently of each other hydrogen or C<sub>1-4</sub>-alkyl; or

A' is a group of formula CH<sub>2</sub>-U-heterocyclyl,

wherein U is O, S or NR'', wherein R'' is hydrogen or C<sub>1-4</sub>-alkyl, and

wherein heterocyclyl is optionally substituted with 1-4 substituents selected from C<sub>1-4</sub>-alkyl, fluorine, chlorine, bromine, cyano, nitro and NRR', wherein R and R' are independently of each other hydrogen or C<sub>1-4</sub>-alkyl; or

A' is a group of formula CH(OH)aryl; or

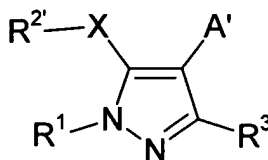
A' is a group of formula CH=CHW

wherein W is unsubstituted aryl, aryl substituted with 1-5 substituents selected from C<sub>1-4</sub>-alkyl, C<sub>1-4</sub>-alkoxy, hydroxy, cyano, fluorine, chlorine and bromine, unsubstituted heterocyclyl, or heterocyclyl substituted with 1-4 substituents selected from C<sub>1-4</sub>-alkyl, C<sub>1-4</sub>-alkoxy, hydroxy, cyano, fluorine, chlorine and bromine;

X is S or O;

or the pharmaceutically acceptable hydrolyzable esters or ethers thereof, and pharmaceutically acceptable salts thereof.

19. A compounds according to the formula



wherein



R<sup>1</sup> is C<sub>1-12</sub>-alkyl, C<sub>3-8</sub>-cycloalkyl, acyl, C<sub>1-4</sub>-alkylsulfonyl, optionally substituted phenylsulfonyl, aryl or C<sub>1-4</sub>-alkyl substituted with phenyl or with phenyl is substituted with 1-5 substituents selected from C<sub>1-4</sub>-alkyl, C<sub>1-4</sub>-alkoxy, hydroxy, fluorine, chlorine or bromine;

R<sup>2'</sup> is phenyl or phenyl substituted with 1-5 substituents selected from C<sub>1-4</sub>-alkyl, C<sub>1-4</sub>-alkoxy, hydroxy, fluorine, chlorine or bromine;

R<sup>3</sup> is C<sub>1-12</sub>-alkyl or C<sub>1-4</sub>-alkoxy-C<sub>1-4</sub>-alkyl;

A' is a group selected from CH<sub>2</sub>-(aryl-C<sub>1-4</sub>-alkylamino), CH<sub>2</sub>-(aryl-C<sub>1-4</sub>-alkoxy), C<sub>1-4</sub>-alkyl substituted with unsubstituted aryl, aryl substituted with 1-5 substituents selected from C<sub>1-4</sub>-alkyl, C<sub>1-4</sub>-alkoxy, hydroxy, fluorine, chlorine and bromine, unsubstituted 4-pyridyl, or 4-pyridyl substituted with 1-4 substituents selected from C<sub>1-4</sub>-alkyl, C<sub>1-4</sub>-alkoxy, hydroxy, fluorine, chlorine and bromine; or

A' is a group of formula CH(OH)Z'

wherein Z' is aryl; or

A' is a group of formula CH=CHW

wherein W is unsubstituted aryl, aryl substituted with 1-5 substituents selected from C<sub>1-4</sub>-alkyl, C<sub>1-4</sub>-alkoxy, hydroxy, fluorine, chlorine and bromine, unsubstituted heterocyclyl, or heterocyclyl substituted with 1-4 substituents selected from C<sub>1-4</sub>-alkyl, C<sub>1-4</sub>-alkoxy, hydroxy, fluorine, chlorine and bromine;

X is S or O;

or the pharmaceutically acceptable hydrolyzable esters or ethers thereof, and pharmaceutically acceptable salts thereof.

20. The compound according to claim 12 wherein  
X is S.

21. The compound according to claim 19 wherein X is S.
22. A pharmaceutical composition comprising a pharmaceutically effective amount of a compound according to claim 1 and a pharmaceutically inert carrier.

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